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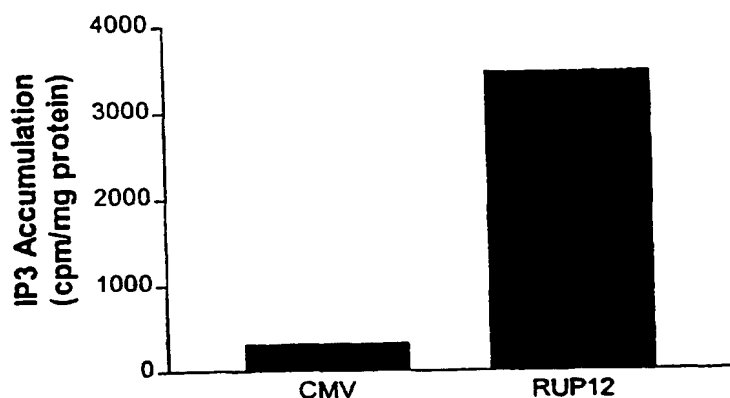
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- (21) International Application Number: PCT/US00/31509 (71) Applicant (for all designated States except US): ARENA
PHARMACEUTICALS, INC. [US/US]; 6166 Nancy
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CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG).

[Continued on next page]

(54) Title: ENDOGENOUS AND NON-ENDOGENOUS VERSIONS OF HUMAN G PROTEIN-COUPLED RECEPTORS

IP3 Assay in 293 Cells



(57) Abstract: The invention disclosed in this patent document relates to transmembrane receptors, more particularly to a human G protein-coupled receptor for which the endogenous ligand is unknown ("orphan GPCR receptors"), and most particularly to mutated (non-endogenous) versions of the human GPCRs for evidence of constitutive activity.

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3 January 2002

INTERNATIONAL SEARCH REPORT

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PCT/US 00/31509

A. CLASSIFICATION OF SUBJECT MATTER
IPC 7 C07K14/705 C12N15/12

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07K

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, EMBL, STRAND, WPI Data, EMBASE, CHEM ABS Data, MEDLINE, PAJ, BIOSIS

C. DOCUMENTS CONSIDERED TO BE RELEVANT

| Category * | Citation of document, with indication, where appropriate, of the relevant passages | Relevant to claim No. |
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| X | WO 98 46620 A (MILLENNIUM PHARM INC) 22 October 1998 (1998-10-22) claim 1; figures 1A, 2A; example 8 | 1-4 |
| X | US 5 891 720 A (WOOLF ELIZABETH A ET AL) 6 April 1999 (1999-04-06) Sequence No. 2 abstract | 1-4 |
| | --- -/- | --- |

☒ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

* Special categories of cited documents:

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T later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

X document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

Y document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

G document member of the same patent family

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Inter. Appl. Application No

PCT/US 00/31509

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| Category * | Citation of document, with indication, where appropriate, of the relevant passages | Relevant to claim No. |
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Inter :nal Application No
PCT/US 00/31509

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| E | <p>WO 01 36471 A (ARENA PHARMACEUTICALS INC ;CHEN RUOPING (US); DANG HUONG T (US); L) 25 May 2001 (2001-05-25) Seq. Id. No. 3 (claims 5-8) Seq. Id. No. 5 (claims 9-12) Seq. Id. No. 7 (claims 13-16) Seq. Id. No. 9 (claims 17-20) Seq. Id. No. 11 (claims 17-20) Seq. Id. No. 13 (claims 25-28) Seq. Id. No. 21 (claims 41-44) Seq. Id. No. 19, 23 (claims 45-48) Seq. ID. No. 25 (claims 49-52)</p> | 5-28, 41-52 |
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Inte .onal Application No

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INTERNATIONAL SEARCH REPORT

International Application No

PCT/US 00/31509

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

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| A | | 45-48 |
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INTERNATIONAL SEARCH REPORT

International Application No

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C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

| Category * | Citation of document, with indication, where appropriate, of the relevant passages | Relevant to claim No. |
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INTERNATIONAL SEARCH REPORT

International application No.
PCT/US 00/31509

Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☐ Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:

2. ☐ Claims Nos.:
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:

3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

see additional sheet

1. ☒ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.

2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.

3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:

4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☒ No protest accompanied the payment of additional search fees.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

This International Searching Authority found multiple (groups of) inventions in this international application, as follows:

1. Claims: 1-4

G protein-coupled receptor as characterized by SEQ.ID.2, its non-endogenous, constitutively activated version, a cDNA encoding said receptor as characterized by SEQ.ID.1, a plasmid comprising said cDNA, and a host cell comprising said plasmid.

2. Claims: 5-8

G protein-coupled receptor as characterized by SEQ.ID.4, its non-endogenous, constitutively activated version, a cDNA encoding said receptor as characterized by SEQ.ID.3, a plasmid comprising said cDNA, and a host cell comprising said plasmid.

3. Claims: 9-12

G protein-coupled receptor as characterized by SEQ.ID.6, its non-endogenous, constitutively activated version, a cDNA encoding said receptor as characterized by SEQ.ID.5, a plasmid comprising said cDNA, and a host cell comprising said plasmid.

4. Claims: 13-16

G protein-coupled receptor as characterized by SEQ.ID.8, its non-endogenous, constitutively activated version, a cDNA encoding said receptor as characterized by SEQ.ID.7, a plasmid comprising said cDNA, and a host cell comprising said plasmid.

5. Claims: 17-20

G protein-coupled receptor as characterized by SEQ.ID.10, its non-endogenous, constitutively activated version, a cDNA encoding said receptor as characterized by SEQ.ID.9, a plasmid comprising said cDNA, and a host cell comprising said plasmid.

6. Claims: 21-24

G protein-coupled receptor as characterized by SEQ.ID.12, its non-endogenous, constitutively activated version SEQ.ID.84, a cDNA encoding said receptor as characterized by SEQ.ID.11, a plasmid comprising said cDNA, and a host cell comprising said plasmid.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

7. Claims: 25-28

G protein-coupled receptor as characterized by SEQ.ID.14, its non-endogenous, constitutively activated version SEQ.ID.88, a cDNA encoding said receptor as characterized by SEQ.ID.13, a plasmid comprising said cDNA, and a host cell comprising said plasmid.

8. Claims: 29-32

G protein-coupled receptor as characterized by SEQ.ID.16, its non-endogenous, constitutively activated version SEQ.ID.92, a cDNA encoding said receptor as characterized by SEQ.ID.15, a plasmid comprising said cDNA, and a host cell comprising said plasmid.

9. Claims: 33-36

G protein-coupled receptor as characterized by SEQ.ID.18, its non-endogenous, constitutively activated version, a cDNA encoding said receptor as characterized by SEQ.ID.17, a plasmid comprising said cDNA, and a host cell comprising said plasmid.

10. Claims: 37-40

G protein-coupled receptor as characterized by SEQ.ID.20, its non-endogenous, constitutively activated version, a cDNA encoding said receptor as characterized by SEQ.ID.19, a plasmid comprising said cDNA, and a host cell comprising said plasmid.

11. Claims: 41-44

G protein-coupled receptor as characterized by SEQ.ID.22, its non-endogenous, constitutively activated version, a cDNA encoding said receptor as characterized by SEQ.ID.21, a plasmid comprising said cDNA, and a host cell comprising said plasmid.

12. Claims: 45-48

G protein-coupled receptor as characterized by SEQ.ID.24, its non-endogenous, constitutively activated version, a cDNA encoding said receptor as characterized by SEQ.ID.23, a plasmid comprising said cDNA, and a host cell comprising said plasmid.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

13. Claims: 49-52

G protein-coupled receptor as characterized by SEQ.ID.26, its non-endogenous, constitutively activated version, a cDNA encoding said receptor as characterized by SEQ.ID.25, a plasmid comprising said cDNA, and a host cell comprising said plasmid.

14. Claims: 53-56

G protein-coupled receptor as characterized by SEQ.ID.28, its non-endogenous, constitutively activated version, a cDNA encoding said receptor as characterized by SEQ.ID.27, a plasmid comprising said cDNA, and a host cell comprising said plasmid.

15. Claims: 57-60

G protein-coupled receptor as characterized by SEQ.ID.30, its non-endogenous, constitutively activated version, a cDNA encoding said receptor as characterized by SEQ.ID.29, a plasmid comprising said cDNA, and a host cell comprising said plasmid.

16. Claims: 61-64

G protein-coupled receptor as characterized by SEQ.ID.32, its non-endogenous, constitutively activated version, a cDNA encoding said receptor as characterized by SEQ.ID.96, a plasmid comprising said SEQ.ID 95, and a host cell comprising said plasmid.

17. Claims: 65-68

G protein-coupled receptor as characterized by SEQ.ID.34, its non-endogenous, constitutively activated version, a cDNA encoding said receptor as characterized by SEQ.ID.33, a plasmid comprising said cDNA, and a host cell comprising said plasmid.

18. Claims: 69-72

G protein-coupled receptor as characterized by SEQ.ID.36, its non-endogenous, constitutively activated version, a cDNA encoding said receptor as characterized by SEQ.ID.35, a plasmid comprising said cDNA, and a host cell comprising said plasmid.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

19. Claims: 73-76

G protein-coupled receptor as characterized by SEQ.ID.38, its non-endogenous, constitutively activated version, a cDNA encoding said receptor as characterized by SEQ.ID.37, a plasmid comprising said cDNA, and a host cell comprising said plasmid.

20. Claims: 77-80

G protein-coupled receptor as characterized by SEQ.ID.40, its non-endogenous, constitutively activated version, a cDNA encoding said receptor as characterized by SEQ.ID.39, a plasmid comprising said cDNA, and a host cell comprising said plasmid.

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